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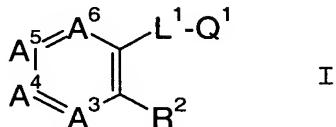
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- 4 -

**c.) Amendments to the Claims**

1. (Currently amended) A compound of formula I



5

(or a pharmaceutically acceptable salt thereof) wherein:

10       $\text{A}^3$ ,  $\text{A}^4$ ,  $\text{A}^5$  and  $\text{A}^6$ , together with the two carbons to which they are attached, complete a substituted benzene in which  $\text{A}^3$  is  $\text{CR}^3$ ,  $\text{A}^4$  is  $\text{CR}^4$ ,  $\text{A}^5$  is  $\text{CR}^5$ , and  $\text{A}^6$  is  $\text{CR}^6$ ;

wherein

$\text{R}^3$  is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

15      one of  $\text{R}^4$  and  $\text{R}^5$  is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy,  $\text{R}^f\text{O}-$ ,  $\text{R}^f\text{O}_2\text{CCH}_2\text{O}-$ ,  $\text{HO}(\text{CH}_2)_a\text{O}-$  (in which  $a$  is 2, 3 or 4),  $\text{R}^f\text{O}_2\text{C}-$ ,  $\text{R}^f\text{O}_2\text{CCH}_2-$ ,  $\text{R}^g\text{NH}-$ ,  $\text{R}^h\text{SO}_2-$ , hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or  $\text{R}^f\text{O}_2\text{C}(\text{CH}_2)_2-$ ;

20      the other of  $\text{R}^4$  and  $\text{R}^5$  is hydrogen; and  
 $\text{R}^6$  is hydrogen, methyl, fluoro, chloro or methoxy;  
      in which  $\text{R}^f$  is hydrogen, (1-4C)alkyl or benzyl;  $\text{R}^g$  is hydrogen or  $\text{R}^h\text{SO}_2-$ ; and  $\text{R}^h$  is (1-4C)alkyl or dimethylamino;  
      or each of  $\text{R}^3$ ,  $\text{R}^4$  and  $\text{R}^6$  is hydrogen; and  $\text{R}^5$  is vinyl,  
25      2-cyanovinyl, 2-((1-2C)alkoxy)carbonylvinyl or  $\text{R}^a$  in which  
 $\text{R}^a$  is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has includes one to four  
30      heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon

- 5 -

and may bear one or more methyl substituents on carbon or nitrogen);

$L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

$Q^1$  is ~~2-pyridinyl (which bears a methyl, methoxy,~~

~~methylthio, fluoro or chloro substituent at the 5 position),~~

~~3-pyridinyl (which bears a methyl, fluoro or chloro~~

~~substituent at the 6 position), 2-pyrimidinyl (which may~~

~~bear a methyl, fluoro or chloro substituent at the~~

~~5-position) or 3-pyridazinyl (which may bear a methyl,~~

~~fluoro or chloro substituent at the 6 position);~~

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,

$-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$ ,  $-NH-C(CH_3)H-$ ,

$-N(CH_3)-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$

or  $Q^{2F}$   $Q^{2E}$  wherein X is a single bond or methylene and the

values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,

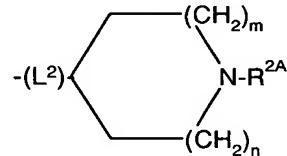
$-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  $-NH-CH_2-Q^{2A}$ ,

$-NH-C(CH_3)H-Q^{2A}$ ,  $-N(CH_3)-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,

$-NH-CO-Q^{2C}$ ,  $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:

$Q^{2A}$  (showing the  $L^2$  to which it is attached) is

20



in which

each of m and n independently is 0 or 1, or m is 2 and

25 n is 1, and

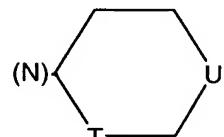
$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-CHRYR^Z$ ,  $-CHR^WXR^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

$R^V$  is methyl, hydroxymethyl,  $\{(1-2C)alkoxy\}carbonyl$ ;

30 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

- 6 -

each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^W R^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



5

in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino (which may bear a methyl substituent), or T is

10 ethan-1,1-diyl and U is a single bond or methylene;  $RY$  is hydrogen or methyl; and

$R^Z$  is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

20 or  $R^{2A}$  is  $-L^b-CH_2-R^b$  in which  $-L^b-$  is a direct bond,  $-CH_2-$ ,  $-C(CH_3)H-$  or  $-CH_2-CH_2-$ ; and  $R^b$  is carboxy, {(1-2C)alkoxy}carbonyl, cyano, carbamoyl or trifluoromethyl; or  $R^{2A}$  is  $-CO-R^C$  in which  $R^C$  is hydrogen, (1-3C)alkyl, {(1-2C)alkoxy}carbonyl- $(CH_2)_c-$  (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a 5-membered aromatic ring which has includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is

- 7 -

a 6-membered aromatic ring which has includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or  $-NR^dR^e$  in which each of  $R^d$  and  $R^e$  is

5 independently hydrogen, methyl or ethyl, or  $-NR^dR^e$  is pyrrolidino, piperidino, morpholino or thiomorpholino;

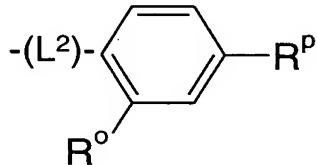
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

10  $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NRSR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

15  $Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NRSR^t$  (defined as above); and

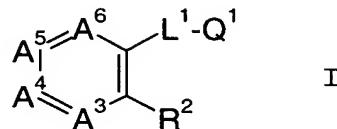
$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



20 in which  $R^o$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^p$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^q$  in which  $J$  is a single bond, 25 methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or  $-NR^qR^r$  is pyrrolidino.

- 8 -

2. (Currently amended) The compound of formula I as claimed in Claim 1



5

(or a pharmaceutically acceptable salt thereof) wherein:

$A^3$ ,  $A^4$ ,  $A^5$  and  $A^6$ , together with the two carbons to which they are attached, complete a substituted benzene in which  $A^3$  is  $CR^3$ ,  $A^4$  is  $CR^4$ ,  $A^5$  is  $CR^5$ , and  $A^6$  is  $CR^6$ ;

10 wherein

$R^3$  is hydrogen, methyl, fluoro, chloro or carboxy;

one of  $R^4$  and  $R^5$  is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy,  $R^fO^-$ ,  $R^fO_2CCH_2O^-$ ,  $HO(CH_2)_aO^-$  (in which  $a$  is 2, 3 or 4),  $R^fO_2C^-$ ,  $R^fO_2CCH_2^-$ ,  $R^gNH^-$  or  $R^hSO_2^-$ ;

the other of  $R^4$  and  $R^5$  is hydrogen; and

$R^6$  is hydrogen, methyl, fluoro, chloro or methoxy; in which  $R^f$  is hydrogen, (1-4C)alkyl or benzyl;  $R^g$  is hydrogen or  $R^hSO_2^-$ ; and  $R^h$  is (1-4C)alkyl or dimethylamino;

20  $L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

$Q^1$  is 2-pyridinyl (which bears a methyl, methoxy, methylthio, fluoro or chloro substituent at the 5-position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6-position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

25  $R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein  $X$  is a single bond or methylene and the values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,  $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,

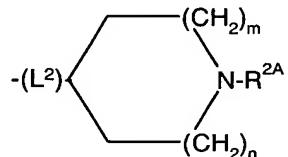
- 9 -

$-\text{NH}-\text{CH}_2-\text{Q}^{2A}$ ,  $-\text{O}-\text{CH}_2-\text{Q}^{2A}$ ,  $-\text{NH}-\text{CO}-\text{X}-\text{Q}^{2B}$ ,  $-\text{NH}-\text{CO}-\text{Q}^{2C}$ ,

$-\text{NH}-\text{CO}-\text{Q}^{2D}$ ,  $-\text{NH}-\text{CO}-\text{Q}^{2E}$  and  $-\text{NH}-\text{CO}-\text{Q}^{2F}$  in which:

$\text{Q}^{2A}$  (showing the  $\text{L}^2$  to which it is attached) is

5



in which

each of  $m$  and  $n$  independently is 0 or 1, and

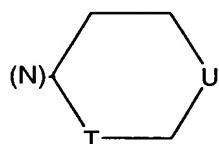
$\text{R}^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-\text{CHRYR}^Z$ ,

10  $-\text{CHR}^W\text{R}^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $\text{R}^V$  at the 2- or 3-position) wherein

$\text{R}^V$  is methyl, hydroxymethyl,  $\{(1-2\text{C})\text{alkoxy}\}\text{carbonyl}$ ; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $\text{R}^W$  and  $\text{R}^X$  independently is hydrogen or

15  $(1-3\text{C})\text{normal alkyl}$ ; or  $-\text{CHR}^W\text{R}^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



20 in which  $T$  is a single bond or methylene and  $U$  is methylene, ethylene, oxy,  $-\text{S(O)}_q-$  (wherein  $q$  is 0, 1 or 2) or imino (which may bear a methyl substituent), or  $T$  is ethan-1,1-diyl and  $U$  is a single bond or methylene;

$\text{R}^Y$  is hydrogen or methyl; and

25  $\text{R}^Z$  is isopropyl, t-butyl,  $(3-6\text{C})\text{cycloalkyl}$ , phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a

- 10 -

5-membered aromatic ring which has includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has includes one to three nitrogen atoms, wherein the heteroaryl is attached at carbon 5 and may bear one or more methyl substituents on carbon or nitrogen);

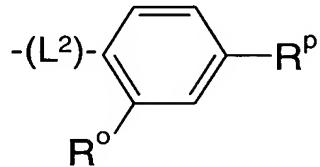
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

$Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 10 1-position the group  $R^{2A}$  (defined as above);

$Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NRSR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the 15 group  $-NRSR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



20 in which  $R^o$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^p$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, 25 dimethylaminosulfonyl or  $-J-R^q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

- 11 -

3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; wherein

R<sup>3</sup> is hydrogen;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O<sub>2</sub>C- or R<sup>g</sup>NH-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

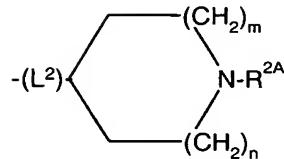
L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

Q<sup>1</sup> is ~~2-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 5 position), 3-pyridinyl (which bears a methyl, fluoro or chloro substituent at the 6 position), 2-pyrimidinyl (which may bear a methyl, fluoro or chloro substituent at the 5-position) or 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6 position);~~

R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-, -NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>, -NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

- 12 -

$Q^{2A}$  (showing the  $L^2$  to which it is attached) is



5 in which

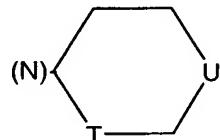
each of  $m$  and  $n$  independently is 0 or 1, and

$R^{2A}$  is hydrogen,  $-CHRYR^Z$ ,  $-CHR^W R^X$ , or 4-pyridinyl

(which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

10  $R^V$  is methyl, hydroxymethyl,  $\{(1-2C)\text{alkoxy}\}\text{carbonyl}$ ; cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino; each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^W R^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is

15



in which  $T$  is a single bond or methylene and  $U$  is methylene, oxy, thioxy or imino (which may bear a methyl substituent),  
20 or  $T$  is ethan-1,1-diyl and  $U$  is a single bond or methylene;  $RY$  is hydrogen or methyl; and  
 $R^Z$  is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and  
25 hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has includes one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has includes one to three

- 13 -

nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

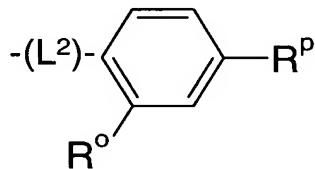
5       $Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

$Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

10      $Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NRSR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

$Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NRSR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is



15

in which  $R^o$  is hydrogen and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-

20     1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NRR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

25     4. (Original) The compound of Claim 1, 2 or 3 wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

- 14 -

5. (Currently amended) The compound of Claim 4 ~~any of Claims 1-4~~ wherein Q<sup>1</sup> is 5-chloropyrimidin-2-yl  
~~5-chloropyridin-2-yl, 5-fluoropyridin-2-yl, or~~  
5 ~~6-chloropyridazin-3-yl.~~

6. (Currently amended) The compound of Claim 4 ~~any of Claims 1-5~~ wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydropyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroldinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-15 piperidin-4-ylmethyl]amino.

7. (Currently amended) The compound as claimed in Claim 4 ~~any of Claims 1-6~~ wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

20 8. (Currently amended) The compound as claimed in Claim 4 ~~any of Claims 1-6~~ wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or fluoro.

9. (Currently amended) The compound as claimed in Claim 1 ~~any of Claims 1, 4, 5 and 6~~ wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is R<sup>a</sup> wherein R<sup>a</sup> is phenyl, furanyl, thienyl, 2-isothiazolyl or pyridyl; and wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclopenytyl or cyclohexyl.

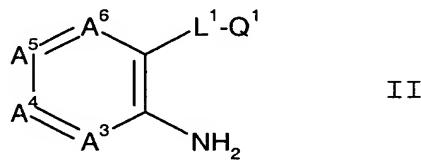
- 15 -

10. (Currently amended) The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-3 ~~1-9~~ which is an acid-addition salt made from a basic compound of formula I and an acid which provides a 5 pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation 10 comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in any of Claims 1-3 ~~1-10~~.

15 12. (Original) A process for preparing a compound of formula I (or a pharmaceutically acceptable salt thereof) as provided in Claim 1 or 2 which is selected from

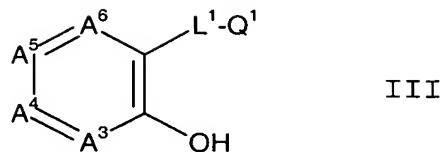
(A) for a compound of formula I in which  $-L^2-Q^2$ , is  $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ , 20 acylating an amine of formula II,



25 using a corresponding acid of formula  $HO-CO-Q^2$ ,  $HO-CO-X-Q^2$ ,  $HO-CO-O-X-Q^2$ , or  $HO-CO-NH-X-Q^2$ , or an activated derivative thereof;

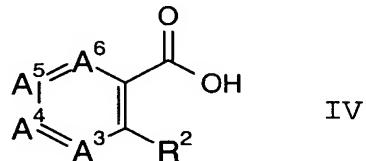
(B) for a compound of formula I in which  $-L^2-Q^2$  is  $-O-CH_2-Q^{2A}$ , acylating a phenol of formula III

- 16 -



using a reagent of formula  $Y-CH_2-Q^2A$  in which Y is a conventional leaving group;

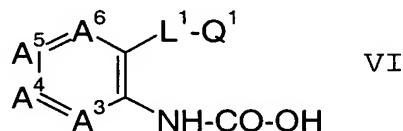
5 (C) acylating an amine of formula  $H_2N-Q^1$ , or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;



10

(D) for a compound of formula I in which  $R^2$  is  $-NH-CH_2-Q^2A$ , alkylating an amine of formula II directly, using a compound of formula  $Y-CH_2-Q^2A$ , or indirectly by reductive alkylation using an aldehyde of formula  $Q^2A-CHO$ ;

15 (E) for a compound of formula I in which  $R^2$  is  $-NH-CO-O-X-Q^2A$ , or  $-NH-CO-NH-X-Q^2A$ , acylating an alcohol of formula  $HO-X-Q^2A$  or an amine of formula  $NH_2-X-Q^2A$ , using an activated derivative of an acid of formula VI;



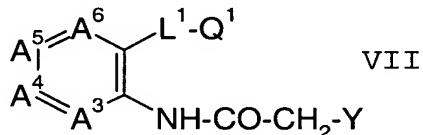
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(F) for a compound of formula I in which  $R^2$  is  $-NH-CO-X-Q^2B$  in which X is a single bond, acylating at the 1-position a piperazine of formula  $H-Q^2B$ , using an activated derivative of an acid of formula VI;

- 17 -

(G) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is methylene, alkylating at the 1-position a piperazine of formula H-Q<sup>2B</sup>, using an alkylating agent of formula VII

5



in which Y is a leaving group;

(H) for a compound of formula I in which R<sup>2A</sup> is methylsulfonyl, substituting the amino nitrogen of a  
10 corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R<sup>2A</sup> is -CHRYR<sup>Z</sup> or -CHR<sup>W</sup>R<sup>X</sup>, alkylating the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen  
15 using an alkylating agent of formula Y-CHRYR<sup>Z</sup> or Y-CHR<sup>W</sup>R<sup>X</sup> or reductively alkylating the amine using a compound of formula RY-CO-R<sup>Z</sup> or RW-CO-R<sup>X</sup>;

(J) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl (which is unsubstituted or bears a substituent  
20 RV at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which RV is alkoxy carbonyl, esterifying a corresponding compound of formula I in which RV is carboxy;

(L) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which RV is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which RV is alkoxy carbonyl;

(M) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which RV is carbamoyl, amidating the ester of

- 18 -

a corresponding compound of formula I in which R<sup>V</sup> is alkoxycarbonyl;

5 (N) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is thiocarbamoyl, adding H<sub>2</sub>S to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

10 (O) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is N-hydroxyamidino, adding H<sub>2</sub>NOH to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

15 (P) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is carboxy, decomposing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxycarbonyl;

(Q) for a compound of formula I in which -NR<sup>S</sup>R<sup>T</sup> is other than amino, alkylating a corresponding compound of formula I in which -NR<sup>S</sup>R<sup>T</sup> is amino using a conventional method;

20 (R) for a compound of formula I which bears -NR<sup>S</sup>R<sup>T</sup>, reductively alkylating H-NR<sup>S</sup>R<sup>T</sup> using a corresponding compound but in which the carbon to bear the -NR<sup>S</sup>R<sup>T</sup> group bears an oxo group;

25 (S) for a compound of formula I in which R<sup>P</sup> is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which R<sup>P</sup> is acetyl using an organometallic reagent;

30 (T) for a compound of formula I in which R<sup>P</sup> is 1-methoxy-1-methylethyl, treating a corresponding compound of formula I in which R<sup>P</sup> is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R<sup>4</sup> or R<sup>5</sup> is nitro;

- 19 -

(V) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is R<sup>G</sup>NH- and R<sup>G</sup> is R<sup>h</sup>SO<sub>2</sub>-, substituting the amino group of a corresponding compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino using an activated derivative of the sulfonic acid 5 R<sup>h</sup>SO<sub>2</sub>-OH;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a 10 pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a 15 physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified, A<sup>3</sup>-A<sup>6</sup>, L<sup>1</sup>, Q<sup>1</sup> and R<sup>2</sup> have any of the values defined in Claim 1 or 2.

20 13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the a-mammal in need thereof of treatment, an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

25 14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino, 30 (4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolydinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-

- 20 -

4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein  
5 each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

19. (New) The compound as claimed in Claim 6 wherein  
each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

10 20. (New) The compound as claimed in Claim 17 wherein  
each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

15 21. (New) The compound as claimed in Claim 5 wherein  
each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or  
fluoro.

22. (New) The compound as claimed in Claim 6 wherein  
each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or  
fluoro.

20 23. (New) The compound as claimed in Claim 17 wherein  
each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or  
fluoro.

25 24. (New) The compound of Claim 9 wherein Q<sup>1</sup> is  
5-chloropyrimidin-2-yl.

25 25. (New) The compound of Claim 9 wherein R<sup>2</sup> is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
30 (1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
danyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-

- 21 -

4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R<sup>2</sup> is  
5 (1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
diny1)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
10 idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

27. (New) N-(5-Chloropyrimidin-2-yl)-2-[ [1-(4-pyri-  
15 dinyl)piperidin-4-ylcarbonyl]amino]benzamide, or  
a pharmaceutically acceptable salt thereof.